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L2: Entry 30 of 74 File: USPT Oct 31, 1995

DOCUMENT-IDENTIFIER: US 5463092 A
TITLE: Lipid derivatives of phosphonacids for liposomal incorporation and method of use

BSPR:
Liposomal incorporation has been shown to provide a more effective way of delivering antiparasitic compounds which not only increases the potency of the dose but prolongs its efficacy and decreases its toxicity. For example, liposomal antimonial drugs are several hundred-fold more effective than the free drug in treating leishmaniasis as shown independently by Black and Watson (10) and Alving, et al. (11). Liposome-entrapped amphotericin B appears to be more effective than the free drug in treating immunosuppressed patients with systemic fungal disease (12). Other uses for liposome encapsulation include restriction of doxorubicin toxicity (13) and diminution of aminoglycoside toxicity (14).

ORPL:
Alving, C. R., et al. (1978) "Therapy of Leishmaniasis: Superior efficacies of liposome-encapsulated drugs" Proc. Natl. Acad. Sci. USA 75:2959-2963.

ORPL:
Black, C. D. V., et al. (1977) "The use of pentostam liposomes in the chemotherapy of experimental leishmaniasis" Trans. Roy. Soc. Trop. Med. Hyg. 71:550-552.

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L3: Entry 31 of 74 File: USPT Dec 14, 1993

DOCUMENT-IDENTIFIER: US 5270052 A
TITLE: Methods and compositions for treatment of infection by intracellular parasites

DRPR:
FIG. 2 depicts a graph which illustrates the anti-leshmanial effect of various treatments after 24, 48, and 72 hours of treatment. Leishmanial infected monocytes were treated with liposomes only (A), C-reactive protein only (B), liposomes and C-reactive protein (C), emphotericin encapsulated liposomes coated with C-reactive protein (D), or amphotericin encapsulated liposomes (E).

DEPR:
C-reactive protein-coated liposomes can be used to deliver drugs to monocytes/macrophages and neutrophils. Accordingly, such liposomes can be used as therapy for any pathogen that infects these cells including mycobacterium avium intracellular, leishmania and Human Immunodeficiency Virus. Some of the pathogens which infect monocytes/macrophages are listed in Table 1 along with some of the drugs used for treatment of these infections. This listing is not meant to limit the invention. Because C-reactive protein sharply increases the targeting of liposomes to monocytes/macrophages and neutrophils, in many cases it will be possible to use drug dosages that are substantially lower than those used in conventional therapy. Preferred drugs are those drugs which are directed to the parasite as opposed to drugs which are primarily cytotoxins.

DEPR:
CRP coated liposomes or lipid emulsions bound with CRP can be used without drugs to stimulate monocytes/macrophages and neutrophils. Purified monocytes infected with Leishmania mexicana were found to be activated to kill intracellular amastigotes upon inculation with liposomes and CRP. Treatment with liposomes incubated with CRP at 500 .mu.g/ml CRP (excess CRP was removed by washing) decreased infection by 53% compared to untreated control cells. When used alone, CRP or liposomes increased infection by up to 20% compared to control cells.

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L3: Entry 32 of 74 File: USPT Jun 29, 1993

DOCUMENT-IDENTIFIER: US 5223263 A
TITLE: Liponucleotide-containing liposomes

BSPR:
For example, liposomal antimonial drugs are several hundred-fold more effective than the free drug in treating leishmaniasis as shown independently by Black and Watson (5) and Alving, et al. (6). Liposome-entrapped amphotericin B appears to be more effective than the free drug in treating immunosuppressed patients with systemic fungal disease (7). Other uses for liposome encapsulation include restriction of doxorubicin toxicity (8) and diminution of aminoglycoside toxicity (9).

ORPL:
Black, C. D. V., Watson, G. J. and Ward, R. J., "The use of Pentostam liposomes in the chemotherapy of experimental leishmaniasis", (1977), Trans. Roy. Soc. Trop. Med. Hyg. 71: 550-552.

ORPL:
Alving, C. R., Steck, E. A., Chapman, W. L., Waits, V. B., Hendricks, L. D., Swartz, G. M. and Hanson, W. L., "Therapy of leishmaniasis:Superior efficacies of liposome-encapsulated drugs", (1978), Proc. Natl. Acad. Sci. USA 75: 2959-2963.

L3: Entry 51 of 74 File: USPT Jun 10, 1986

DOCUMENT-IDENTIFIER: US 4594241 A
TITLE: Anti-leishmanial pharmaceutical formulations

BSPR:
Leishmaniasis is a predominantly tropical disease, the causative organism of which are species of leishmania which are protozoan intracellular parasites. Various antimony-containing drugs are available to combat leishmaniasis but large daily dosage levels of the antimonial drugs are necessary to combat the disease and the administration of these large amounts of these antimonial compounds may produce undesirable side effects. Some proposals have already been made for the formulation of the antimonial drugs into liposomes. Such liposomal formulations are of interest because, in principle, they are capable of targeting the drug to the cells of the reticuloendothelial system in liver, spleen and bone marrow, the major sites of the parasite infection and of providing a sustained release of the antimonial drug which normally has to be administered parenterally. This means that there can be opportunities, with liposomal formulation, for more effective use of the antimonial compound and so reduce the overall dosage level that needs to be administered and/or the number of occasions that the drug needs to be injected. However, the liposomal formulations that have been proposed so far suffer from the disadvantage of relatively low levels of incorporation of the antimonial drug in the liposome and/or relatively high leakage rates of the antimonial drug from the encapsulated aqueous phase into the continuous aqueous phase on storage. This means that the existing liposome formulations need to be prepared just before use.

DEPR:
Inbred male mice, strain Balb/c (Bantin & Kingman), were infected with Leishmania donovani by intravenous inoculation of 10.sup.7 amastigotes from the spleen of an infected hamster. One week after inoculation, the mice were divided into groups of 9-10 animals and dosed intravenously into the tail vein with sodium stibogluconate, either as free drug or entrapped in liposomes similar to those described in Example 1. The dose was given once daily for 5 days. Empty liposomes were inoculated into one group as controls. Seven days after the first dose of drug, the mice were killed, the livers excised and weighed, and impression smears prepared from a cut surface of the liver. The impression smears were stained with Giemsa, and number of amastigotes counted microscopically per 500 liver cell nuclei, and the total number of parasites calculated by the method of Stauber et al. J. Protozoology, 5, 269, (1958).

CLPR:
1. A pharmaceutical formulation consisting essentially of an anti-leishmanial effective amount of sodium stibogluconate encapsulated in liposomes consisting of dipalmitoylphosphatidyl choline, cholesterol and dicetyl phosphate in a molar ratio of 4.5:4.5:1 respectively, the weight ratio of the lipid mixture to encapsulated said sodium stibogluconate being from 1:1.6 to 1:0.25 said liposomes being suspended in a continuous aqueous phase containing a pharmaceutically acceptable salt and having a tonicity at least twice that of human blood and having a pH of about 5.5 to 7 whereby the leakage rate of initially encapsulated sodium stibogluconate is less than 50% by weight after storage at 25.degree. C. for 6 weeks from encapsulation.

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L3: Entry 57 of 74 File: USPT Nov 24, 1981

DOCUMENT-IDENTIFIER: US 4302459 A
TITLE: Liposome carriers in leishmaniasis chemotherapy with 8-aminoquinoline derivatives

ABPL:
An improved method is provided for the chemotherapy of leishmanial infects. An 8-aminoquinoline anti-leishmanial agent is encapsulated within liposomes and the liposome-encapsulated drug is injected into the body. Subject use of a liposome carrier has produced marked enhancement of the effectiveness of the drug against leishmanial parasites in the liver (such as characteristic of infections which are difficult to treat).

BSPR:
Numerous studies have shown that liposomes, upon injection into animals and man, are taken up rapidly by cells, and intracellular lysosomes, of the RES, particularly those in the liver. Because of the relative impermeability of liposomes, and speedy removal of them from the circulatory system, substances in the aqueous interspaces of liposomes remain concentrated therein and are unexposed to plasma. These characteristics of liposomes suggested that they might have a potential for application as carriers for anti-leishmanial agents, as 8-aminoquinoline drugs. The cells and tissues in which the liposomes are readily taken up are the very locations in which the Leishmania organisms predominantly reside, thus raising the possibility that liposomes might carry concentrated doses of those agents directly to organisms residing within retriculoendothelial cells of the spleen and liver. Not only would the drugs be directed more effectively to tissues and cells harboring the obligate intracellular Leishmania, but also the encapsulated drugs would have decreased liability for producing toxic side-effects through exposure to blood. Moreover, there would be strong probability for prolonged effectiveness of the drug through slow biodegradation of the multilamellar membrane structure of the liposomes.

BSPR:
The present invention relates to a novel technique in the treatment of leishmaniasis, consisting in the incorporation of an anti-leishmanial 8-aminoquinoline derivative into liposomes, and introduction of the "encapsulated" agent into the body of an infected mammal. By this procedure, the effectiveness and duration of action are prolonged, and also drug toxicity is decreased. As specific embodiment of the invention, use of 8-aminoquinolines in liposome carriers has been found to provide improved therapy of leishmaniasis owning to increased effectiveness, prolonged duration of action, and lessened liability to toxicity of the drug. Specifically, we have centered attention upon use of primaquine and 8-(6-diethylaminohexylamino)-6-methoxylepidine as representative 8-aminoquinolines.

BSPR:
Primaquine diphosphate has been shown (K. E. Kinnamon, et al., loc. cit.) to have modest anti-leishmanial effects in a standard test system (cf. W. L. Hanson, et al., loc. cit.) in which 8-(6-diethylaminohexylamino)-6-methoxylepidine dihydrochloride was outstandingly effective. Each of those 8-aminoquinolines can be encapsulated in liposomes as shown in instant invention. That was achieved by drying an

appropriate lipid mixture in a thin film and introducing an aqueous solution of primaquine salt (e.g., the diphosphate) or of a salt of 8-(6-diethylaminohexylamino)-6-methoxylepidine (as, its dihydrochloride) in such manner as to produce liposomes containing the appropriate drug. The carrier system for introducing the anti-leishmanial agent into the animal afforded means for enhancing effectiveness of the drug against Leishmania donovani infections in a model test system, as hitherto described.

BSPR:
Herein are offered examples to provide methods for illustrating the invention, and do not limit its scope in the treatment of leishmanial infections. Representative phospholipids which may be used in preparing the liposomes include lecithin, .beta., .gamma.-dipalmitoyl-.alpha.-lecithin (as well as related .beta., .gamma.-disubstituted .alpha.-phosphatidyl choline types), sphingomyelin, and the like. The steroidal component enhanced the stability of the liposomes, and was selected from conveniently available compounds such as cholesterol, lanosterol, cholestanol, and the like. The liposomes were rendered charged by addition of readily accessible, appropriate lipid soluble compounds.

BSPR:
A comparison of anti-leishmanial activity of each liposome sample was made with the reference formulation of meglumine antimoniate in HEC-Tween.RTM.. The drug dosage levels of liposome-encapsulated samples required for a given degree of effect such as 90% suppression (SD.sub.90) was estimated graphically by plotting on log paper the percent parasite suppression vs. milligrams of compound administered per kilogram body weight of the hamster.

DEPR:
Direct comparison was made of the effects produced in Leishmania-infected hamsters (17 day infections) by intra-cardial administration of meglumine antimoniate alone and of WR-6026 incorporated in the negatively-charged liposomes. On the basis of definition that SD.sub.50 is the amount of drug required to cause 50% suppression of parasites, in this experiment the SD.sub.50 for meglumine antimoniate (neat) was 290 based on Sb. WR-6026 (neat) had SD.sub.50 of 1.8 mgm/kg. The SD.sub.50 for WR-6026 encapsulated in negative liposomes was 0.42 mgm/kg. Thus, the G index for neat 8-(6-diethylaminohexylamino)-6-methoxylepidine hydrochloride was 161, and that for the liposome-incorporated drug was 690.

DEPR:
Primaquine diphosphate was incorporated in neutral liposomes, after the manner of Example 5. The assessment of anti-leishmanial effects was done in the standard way, repeatedly. Liposome-incorporated drug showed a variable level of effectiveness, despite extreme efforts at uniformity, otherwise clearly evident in direct comparisons. In many cases, the preparations containing primaquine gave erratic values, much in contrast to 8-(6-diethylaminohexylamino)-6-methoxylepidine (which has been designated as WR-6026). The Figure shows the results of comparing the anti-leishmanial effects of the two 8-aminoquinoline drugs when incorporated in liposomes. Efficacies of Primaquine Diphosphate and WR-6026, Encapsulated in Liposomes are illustrated. In a single experiment duplicate liposome preparations contained either primaquine diphosphate (closed symbols) or WR-6026 (open symbols).

DEPR:
Repetition of studies with primaquine in negatively-charged liposomes (cf. Example 3) and in positively-charged liposomes (cf. Example 4) also gave variable levels of anti-leishmanial effectiveness. In most instances, primaquine in liposomes was more effective than neat neglumine antimoniate, but uniformly less so than WR-6026 (whether encapsulated in liposomes or as the neat drug).

CLPR:
1. The product prepared by a process for encapsulating an anti-leishmanial

8-aminoquinoline drug within liposomes comprising the steps of:

CLPR:
11. A method for treating leishmaniasis which comprises the step of administering parenterally or orally to an infected animal a leishmanicidally effective amount of an anti-leishmanial 8-aminoquinoline drug encapsulated within liposomes prepared in accordance with claim 1.

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L3: Entry 58 of 74 File: USPT Jan 29, 1980

DOCUMENT-IDENTIFIER: US 4186183 A
TITLE: Liposome carriers in chemotherapy of leishmaniasis

ABPL:
An improved method is provided for the chemotherapy of leishmanial infections. The anti-leishmanial agent is encapsulated within liposomes and the liposome-encapsulated drug is injected into the body. Subject use of a liposome carrier has produced marked enhancement of the effectiveness and duration of anti-leishmanial action of meglumine antimoniate, and of sodium stibogluconate, drugs which are recommended widely for therapy of leishmaniasis.

BSPR:
Numerous studies have shown that liposomes, upon injection into animals and man, are taken up rapidly by cells, and intra-cellular lysosomes, of the reticuloendothelial system, particularly those in the liver. Because of the relative impermeability of liposomes, and speedy removal of them from the circulatory system, substances in the aqueous interspaces of liposomes remain concentrated and are unexposed to plasma. These characteristics of liposomes suggested that they might have a potential for application as carriers for anti-leishmanial agents, particularly antimonial drugs. The cells and tissues in which the liposomes are readily taken up are the very locations in which the Leishmania organisms predominantly reside, thus raising the possibility that liposomes might carry concentrated doses of antimonial agents directly to organisms residing within reticuloendothelial cells of the spleen and liver. Not only would the drugs be directed more effectively to tissues and cells harboring the obligate intra-cellular Leishmania, but also the encapsulated drugs would have decreased liability for producing toxic side-effects through exposure to blood. Moreover, there would be strong probability for prolonged effectiveness of the drug through slow biodegradation of the multilamellar membrane structure of the liposomes. The characteristics of liposomes suggest their suitability as carrier for other antiparasitic agents.

BSPR:
The present invention relates to a novel technique in treatment of leishmaniasis, consisting in the incorporation of an anti-leishmanial drug into liposomes, and introduction of the "encapsulated" agent into the body of an infected mammal. By this procedure, the effectiveness and duration of action are prolonged, and also drug toxicity is decreased. As specific embodiment of the invention, the use of meglumine antimoniate and of sodium stibogluconate in liposome carriers has been found to provide improved therapy of leishmaniasis due to increased effectiveness, prolonged duration of action, and lessened liability to toxicity of the drug.

BSPR:
Meglumine antimoniate and sodium stibogluconate are well known agents for treatment of leishmaniasis in man: Merck Index, Ninth Edition (1976), entry 5945, page 793 and entry 739, page 96; and Progress in Drug Research (E. Jucker, editor), volume 18, The Leishmaniases by E. A. Steck, page 289 [Birkhauser Verlag, Basel, 1974]. It has now been found that each of the two antimonial drugs can be encapsulated in liposomes. This was effected by drying a thin film of a lipid mixture and introducing an aqueous solution of meglumine antimoniate or of sodium stibogluconate in such manner as to produce

liposomes containing the drug. The design of the carrier system for introducing the anti-leishmanial agent was proven to provide markedly enhanced effectiveness of the drug against Leishmania donovani infections in a model test system.

DEPR:
A comparison of anti-leishmanial activity of each liposome sample was made with the reference formulation of meglumine antimoniate in HEC-Tween.RTM.. This comparison was based upon actual antimony content found in the liposome preparations resulting from incorporation of meglumine antimoniate or of sodium stibogluconate. The drug dosage levels of liposome-encapsulated samples required for a given degree of effect such as 90% suppression (SD.sub.90) was estimated graphically by plotting on log paper the percent parasite suppression vs. milligrams of compound administered per kilogram body weight of the hamster.

DEPR:
Direct comparison was made of the effects produced in Leishmania-infected hamsters by intracardial administration of meglumine antimoniate (MA) alone and drug incorporated in liposomes. Table 1 shows the results of treatments on infections of various duration. It should be noted that about half of untreated animals would die ordinarily within some 4 weeks of infection with L. donovani. The comparison of anti-leishmanial effects of total doses of MA per se (416 mgm/kg) and encapsulated in liposomes (4 mgm/kg) showed that enhanced anti-leishmanial effects of the latter are more noteworthy in the long-standing infections. This has basic practical significance, for cases presented at the clinic are usually of some duration. Thus, Table 1 gives evidence that 17 days post-infection, animals showed 61% suppression of parasitemia when liposome-incorporated MA was administered, whilst only 18% suppression resulted when more than 100 fold dosage of drug alone was given. Data were also assembled in comparison of the effects of meglumine antimoniate (MA) alone, liposome-incorporated MA, and "empty" liposomes (swollen in sodium chloride) on 10-day infections of hamsters with L. donovani. Those data form basis of FIG. 1. Calculations from the data of FIG. 1 show that the estimated dose required for 50% suppression of leishmanial infection for meglumine antimoniate, alone, was some 350 times greater than that for the drug entrapped within liposomes.

DEPR:
It is well known that conventional therapy with antimonial drugs may be hazardous to the patient through diverse toxic side effects. Encapsulation of meglumine antimoniate in liposomes provides a novel means for preventing untoward effects in two ways. Rapid uptake of liposomes by cells, especially those of the reticuloendothelial system (parasitized by Leishmania), diminishes exposure of other tissues to the drug within the liposomes. Further, based upon results in the animal model, less than 0.3% of an ordinary therapeutic dose may be used for equivalent therapy.

CLPR:
2. A method for the chemotherapy treatment of leishmaniasis by administering to an infected animal a leishmanicidally effective amount of liposome-encapsulated antimonial drug of claim 1.

CLPR:
18. A composition for the chemotherapy treatment of leishmaniasis comprising a leishmanicidally effective amount of a liposome-encapsulated antimonial drug.

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USPT,JPAB,EPAB,DWPI,TDBD	(dinitroaniline or trifluralin) and (liposome$ or vesicle$)	27	L1

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L1: Entry 3 of 3 File: USPT Mar 23, 1999

DOCUMENT-IDENTIFIER: US 5886025 A
TITLE: Anti-mitotic agents which inhibit tubulin polymerization

DEPR:
As will be obvious to one of skill in the art, tubulin polymerization plays a role in diseases other than cancer. Chagas' disease, for example, is caused by Trypanosoma cruzi, a flagellate protozoa which has a substantial protein composition containing tubulin both as a component of the subpellicular microtubule system and the flagellum (De Souza). Chagas' disease is characterized by lesions in the heart, alimentary tract and nervous system. The disease currently affects approximately 16-18 million people and is the leading cause of myocarditis in the Americas (WHO). Inhibition of tubulin polymerization, crucial to the parasite's mobility, would provide an effective treatment. Indeed, the use of agents that selectively affect tubulin polymerization has precedence in the therapy of other parasitic diseases. The benzimidazoles are very effective anti-helmenthic drugs (Katiyar, et al.), and the dinitroanilines have shown promise against Leishmania, a parasite closely related to Trypanosoma (Chan and Gong). Currently, only two drugs exist for the treatment of Chagas' disease: benznidazole and nifurtimox. Both of these compounds are nitroheterocycles that are converted into nitro anion radicals that then interfere with macromolecular synthesis. These drugs have several adverse effects, including thrombocytopenic purpura and polyneropathy. These compounds may also cause genotoxicity in children (Marr et al., De Castro). A suitable assay for determining the tubulin polymerization inhibitors ability to treat parasites is described by Maldonado et al.

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Search Results - Record(s) 1 through 3 of 3 returned.

☐ 1. Document ID: US 6162930 A

L1: Entry 1 of 3 File: USPT Dec 19, 2000

US-PAT-NO: 6162930
DOCUMENT-IDENTIFIER: US 6162930 A

TITLE: Anti-mitotic agents which inhibit tubulin polymerization

DATE-ISSUED: December 19, 2000

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Pinney; Kevin G.	Hewitt	TX	N/A	N/A
Pettit; George R.	Paradise Valley	AZ	N/A	N/A
Mocharla; Vani P.	Waco	TX	N/A	N/A
Mejia; Maria del Pilar	Evanston	IL	N/A	N/A
Shirali; Anupama	Pune	N/A	N/A	INX

US-CL-CURRENT: 549/57; 549/49, 549/58, 568/327, 568/328, 568/632, 568/633

Full | Title | Citation | Front | Review | Classification | Date | Reference | Claims | KWIC | Draw Desc | Image

☐ 2. Document ID: US 5888818 A

L1: Entry 2 of 3 File: USPT Mar 30, 1999

US-PAT-NO: 5888818
DOCUMENT-IDENTIFIER: US 5888818 A

TITLE: Herbicide resistant plants

DATE-ISSUED: March 30, 1999

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Cronin; Kathryn Elizabeth	Maidenhead	N/A	N/A	GB2
Ellis, deceased; John Raymond	late of Marlowe	N/A	N/A	GB2
Hussey; Patrick Joseph	Windsor	N/A	N/A	GB2
Ray; John Anthony	Bracknell	N/A	N/A	GB2
Waldin; Teresa Ruth	Bridgend	N/A	N/A	GB7

US-CL-CURRENT: 435/418; 435/278, 435/410, 435/419, 435/468, 536/23.1, 536/23.6, 800/300

Full | Title | Citation | Front | Review | Classification | Date | Reference | Claims | KWIC | Draw Desc | Image

☐ 3. Document ID: US 5886025 A

L1: Entry 3 of 3 File: USPT Mar 23, 1999

US-PAT-NO: 5886025
DOCUMENT-IDENTIFIER: US 5886025 A

TITLE: Anti-mitotic agents which inhibit tubulin polymerization

DATE-ISSUED: March 23, 1999

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Pinney; Kevin G.	Hewitt	TX	N/A	N/A

US-CL-CURRENT: 514/443; 549/51, 549/57, 549/58

Full | Title | Citation | Front | Review | Classification | Date | Reference | KWIC | Draw Desc | Image

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leishmania same dinitroaniline	3

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L3: Entry 1 of 4 File: USPT Aug 22, 2000

DOCUMENT-IDENTIFIER: US 6107316 A
TITLE: Method for treating protozoal infections

ABPL:
A method for treating protozoal infections is described. The method employs N-acetonylbenzamide compounds provided in an effective amount to inhibit the growth of protozoans. The compounds are useful in controlling such protozoan parasites as Giardia lamblia, Leishmania major, Entamoeba histolytica, Cryptosporidium parvum, Toxoplasma gondii and microsporidia.

BSPR:
Clinically important representatives of the flagellate group include Giardia lamblia, Trichomonas vaginalis, Leishmania spp., and Trypanosoma spp. G. lamblia is a waterborne intestinal parasite which occurs worldwide, causing diarrhea, and other intestinal symptoms. The most commonly used drugs used to treat giardiasis are metronidazole and other members of the 5-nitroimidazoles. Metronidazole is mutagenic in the Ames test {Vogd et al., Mutation Research, vol. 26, 483-490 (1974)} and has various toxic side effects. The development of resistance to these drugs in Giardia and other protozoan parasites such as Entamoeba histolytica and Trichomonas vaginalis also limits their effectiveness. Leishmaniasis, a life-threatening disease caused by Leishmania spp., is a major health problem worldwide with an estimated 10-15 million people infected and 400,000 new cases each year. There is currently no satisfactory treatment for leishmaniasis. The treatment of choice is pentavalent antimony in the form of sodium stibogluconate or meglumine antimonate. Both drugs are administered intravenously, have severe adverse side effects, require hospitalization during treatment and are not always effective {M. Ouelette and B. Papadopoulou, Parasitology Today, vol. 9, pp. 150-153 (1993)}. Trypanosoma spp. cause life-threatening diseases in humans, including African sleeping sickness and Chagas disease, as well as a number of important diseases in domestic animals. Leishmania and Trypanosoma are closely-related genera, representing the major pathogens in the kinetoplastid group of protozoa.

BSPR:
The method of the present invention are useful in treating protozoal infections. Protozoans which may be controlled by the method of the present invention include but are not limited to Giardia species, Leishmania species, Entamoeba species, Toxoplasma species, Cryptosporidium species, and microsporidia species. The method of the present invention may be used to treat diseases caused by protozoans in animals, including humans, domestic animals such as cattle and pigs, and poultry.

DEPR:
Compounds were evaluated for in vitro growth inhibitory activity against the ciliate Tetrahymena pyriformis, which was used as an indicator organism for the Apicomplexia since by several criteria the ciliates and Apicomplexa are closely related (Edlind T. D. et al., Mol. Phylogenet. Evol. vol. 5, pp. 359-367, 1996). T. pyriformis (ATCC strain 30005) was grown in 1 ml ATCC medium 357 at 25.degree. C. in 4 ml polyallomer culture tubes without shaking. Compounds were dissolved in dimethylsufoxide (DMSO) and added to the cultures (containing 3,000 cells/ml) such that the final DMSO concentration was 0.1-0.3%. After 24 hours, cell numbers were determined with a hemocytometer,

. . and EC50 values were estimated from dose-response curves. The results are presented in Table 7. The dinitroanilines trifluralin, pendimethalin, and oryzalin which have in vitro activity against the Apicomplexa Toxoplasma gondii (Stokkermans et al,. Exp. Parasitol. vol. 84, pp. 355-370, 1996) and Cryptosporidium parvum (Arrowood et al., FEMS Microbial. Lett., vol. 136, pp. 245-249, 1996) were tested for comparison.

DEPC:
Testing Against the Blood/Tissue-Dwelling Flagellate Leishmania major

DETL:
TABLE 5 Growth inhibitory activity towards Leishmania major EC50 (ppm) Leishmania Compound major 1 0.2 2 0.03 3 0.2 4 0.2 5 0.06 6 0.6 7 0.6 8 0.7 9 0.1 10 0.2 15 0.7 16 7

DETL:
TABLE 7 Growth inhibitory activity towards Tetrahymena pyriformis EC50 (ppm) Tetrahymena Compound pyriformis 1 0.02 2 <0.01 3 <0.01 4 0.1 5 0.003 6 0.02 7 0.07 8 0.07 9 0.004 10 0.004 15 <0.01 16 0.6 oryzalin 0.4 pendimethalin 2 trifluralin >6

CLPR:
7. The method of claim 1 wherein the protozoan is selected from one or more of Giardia species, Leishmania species, Toxoplasma species, Cryptosporidium species, Entamoeba species, and microsporidia species.

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Search Results - Record(s) 1 through 27 of 27 returned.

☐ 1. Document ID: US 6207826 B1

L1: Entry 1 of 27 File: USPT Mar 27, 2001

US-PAT-NO: 6207826
DOCUMENT-IDENTIFIER: US 6207826 B1

TITLE: Macrocyclic compounds having nitrogen-containing linkages

DATE-ISSUED: March 27, 2001

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Cook; Phillip Dan	San Macros	CA	N/A	N/A
Guinosso; Charles J.	Vista	CA	N/A	N/A
Kung; Pei-Pei	Carlsbad	CA	N/A	N/A
Fraser; Allister S.	Carlsbad	CA	N/A	N/A

US-CL-CURRENT: 540/472; 540/473, 540/474

Full | Title | Citation | Front | Review | Classification | Date | Reference | Claims | KWIC | Draw Desc | Image

☐ 2. Document ID: US 6197965 B1

L1: Entry 2 of 27 File: USPT Mar 6, 2001

US-PAT-NO: 6197965
DOCUMENT-IDENTIFIER: US 6197965 B1

TITLE: Compounds having a plurality of nitrogenous substituents

DATE-ISSUED: March 6, 2001

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Cook; P. Dan	Vista	CA	N/A	N/A
An; Haoyun	Encinitas	CA	N/A	N/A

US-CL-CURRENT: 546/334; 546/271.4, 546/272.4, 546/278.1

Full | Title | Citation | Front | Review | Classification | Date | Reference | Claims | KWIC | Draw Desc | Image

☐ 3. Document ID: US 6197349 B1

L1: Entry 3 of 27 File: USPT Mar 6, 2001

US-PAT-NO: 6197349
DOCUMENT-IDENTIFIER: US 6197349 B1

TITLE: Particles with modified physicochemical properties, their preparation and uses

DATE-ISSUED: March 6, 2001

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Westesen; Kirsten	Konigslutter	N/A	N/A	DEX
Siekmann; Britta	Sodertalje	N/A	N/A	SEX

US-CL-CURRENT: 424/501; 264/4.1, 264/4.3, 264/4.33, 264/4.4, 424/502, 427/213.36, 428/402.21, 514/772.3

Full | Title | Citation | Front | Review | Classification | Date | Reference | Claims | KWIC | Draw Desc | Image

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☐ 4. Document ID: US 6177414 B1

L1: Entry 4 of 27 File: USPT Jan 23, 2001

US-PAT-NO: 6177414
DOCUMENT-IDENTIFIER: US 6177414 B1

TITLE: Starburst conjugates

DATE-ISSUED: January 23, 2001

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Tomalia; Donald A.	Midland	MI	N/A	N/A
Kruper; William J.	Sanford	MI	N/A	N/A
Cheng; Roberta C.	Midland	MI	N/A	N/A
Tomlinson; Ian A.	Midland	MI	N/A	N/A
Fazio; Michael J.	Midland	MI	N/A	N/A
Hedstrand; David M.	Midland	MI	N/A	N/A
Wilson; Larry R.	Beaverton	MI	N/A	N/A
Kaplan; Donald A.	Cincinnati	OH	N/A	N/A

US-CL-CURRENT: 514/159; 424/78.17, 514/165, 514/166, 521/25, 523/1

Full | Title | Citation | Front | Review | Classification | Date | Reference | KWIC | Draw Desc | Image

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☐ 5. Document ID: US 6133199 A

L1: Entry 5 of 27 File: USPT Oct 17, 2000

US-PAT-NO: 6133199
DOCUMENT-IDENTIFIER: US 6133199 A

TITLE: Process and compositions promoting biological effectiveness of exogenous chemical substances in plants

DATE-ISSUED: October 17, 2000

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Soula; Gerard G.	Meyzieux	N/A	N/A	FRX
Meyrueix; Remi	Lyons	N/A	N/A	FRX
Lemercier; Alain J. L.	St Bonnet de Mure	N/A	N/A	FRX
Bryson; Nathan J.	Millery	N/A	N/A	FRX
Soula; Olivier	Lyons	N/A	N/A	FRX
Ward; Anthony J. I.	Clayton	MO	N/A	N/A
Gillespie; Jane L.	St. Louis	MO	N/A	N/A
Brinker; Ronald J.	Ellisville	MO	N/A	N/A

US-CL-CURRENT: 504/206; 504/365

Full | Title | Citation | Front | Review | Classification | Date | Reference KWIC | Draw Desc | Image

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☐ 6. Document ID: US 6130186 A

L1: Entry 6 of 27 File: USPT Oct 10, 2000

US-PAT-NO: 6130186
DOCUMENT-IDENTIFIER: US 6130186 A

TITLE: Composition and method for treating plants with exogenous chemicals

DATE-ISSUED: October 10, 2000

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Ward; Anthony J. I.	Clayton	MO	N/A	N/A
Ge; Jisheng	Affton	MO	N/A	N/A
Sandbrink; Joseph J.	Des Peres	MO	N/A	N/A
Xu; Xiaodong C.	St. Louis	MO	N/A	N/A

US-CL-CURRENT: 504/365; 504/206, 504/235, 504/250, 504/367, 514/561, 514/563, 514/772

Full | Title | Citation | Front | Review | Classification | Date | Reference KWIC | Draw Desc | Image

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☐ 7. Document ID: US 6107316 A

L1: Entry 7 of 27 File: USPT Aug 22, 2000

• US-PAT-NO: 6107316
DOCUMENT-IDENTIFIER: US 6107316 A

TITLE: Method for treating protozoal infections

DATE-ISSUED: August 22, 2000

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Young; David Hamilton	Ambler	PA	N/A	N/A
Michelotti; Enrique Luis	Fort Washington	PA	N/A	N/A
Edlind; Thomas David	Wyndmoor	PA	N/A	N/A
Katiyar; Santosh Kumar	Philadelphia	PA	N/A	N/A

US-CL-CURRENT: 514/359; 514/211.09, 514/213.01, 514/365, 514/372, 514/374, 514/378, 514/383, 514/439, 514/461, 514/514, 546/146, 546/156, 548/200, 548/214, 548/236, 548/248, 548/255, 548/302.7

Full | Title | Citation | Front | Review | Classification | Date | Reference | KWIC | Draw Desc | Image

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☐ 8. Document ID: US 6107482 A

L1: Entry 8 of 27 File: USPT Aug 22, 2000

US-PAT-NO: 6107482
DOCUMENT-IDENTIFIER: US 6107482 A

TITLE: Nitrogenous macrocyclic compounds

DATE-ISSUED: August 22, 2000

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Cook; Phillip Dan	Escondido	CA	N/A	N/A
An; Haoyun	Encinitas	CA	N/A	N/A
Guinosso; Charles J.	Vista	CA	N/A	N/A
Kung; Pei-Pei	Leucadia	CA	N/A	N/A
Fraser; Allister S.	San Marcos	CA	N/A	N/A

US-CL-CURRENT: 540/472; 540/455, 540/469

Full | Title | Citation | Front | Review | Classification | Date | Reference | KWIC | Draw Desc | Image

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☐ 9. Document ID: US 6093680 A

L1: Entry 9 of 27 File: USPT Jul 25, 2000

US-PAT-NO: 6093680
DOCUMENT-IDENTIFIER: US 6093680 A

TITLE: Composition and method for treating plants with exogenous chemicals

DATE-ISSUED: July 25, 2000

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Gillespie; Jane L.	St. Louis	MO	N/A	N/A
Brinker; Ronald J.	Ellisville	MO	N/A	N/A
Ward; Anthony J. I.	Clayton	MO	N/A	N/A
Xu; Xiaodong C.	St. Louis	MO	N/A	N/A

US-CL-CURRENT: 504/363; 504/206, 504/235, 504/250, 504/365, 514/561, 514/563, 514/772

Full | Title | Citation | Front | Review | Classification | Date | Reference | KWIC | Draw Desc | Image

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☐ 10. Document ID: US 6093681 A

L1: Entry 10 of 27 File: USPT Jul 25, 2000

US-PAT-NO: 6093681
DOCUMENT-IDENTIFIER: US 6093681 A

TITLE: Composition and method for treating plants with exogenous chemicals

DATE-ISSUED: July 25, 2000

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Ward; Anthony J. I.	Clayton	MO	N/A	N/A
Ge; Jisheng	Affton	MO	N/A	N/A
Gillespie; Jane L.	St. Louis	MO	N/A	N/A
Sandbrink; Joseph J.	Des Peres	MO	N/A	N/A
Xu; Xiaodong C.	St. Louis	MO	N/A	N/A

US-CL-CURRENT: 504/365; 504/206, 504/235, 504/250, 514/561, 514/563, 514/772

Full | Title | Citation | Front | Review | Classification | Date | Reference | KWIC | Draw Desc | Image

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☐ 11. Document ID: US 6077954 A

L1: Entry 11 of 27 File: USPT Jun 20, 2000

US-PAT-NO: 6077954
DOCUMENT-IDENTIFIER: US 6077954 A

TITLE: Substituted heterocyclic compounds

DATE-ISSUED: June 20, 2000

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Cook; P. Dan	Vista	CA	N/A	N/A
An; Haoyun	Encinitas	CA	N/A	N/A

US-CL-CURRENT: 544/353; 544/162, 544/182, 544/301, 544/333, 544/335, 544/336, 544/354, 544/405, 546/271.4, 546/272.4, 546/278.1, 546/334, 548/264.4, 548/267.8, 548/950, 548/967, 549/426, 549/492, 549/74, 549/75

Full | Title | Citation | Front | Review | Classification | Date | Reference | KWIC | Draw Desc | Image

☐ 12. Document ID: US 6040191 A

L1: Entry 12 of 27 File: USPT Mar 21, 2000

US-PAT-NO: 6040191
DOCUMENT-IDENTIFIER: US 6040191 A

TITLE: Raman spectroscopic method for determining the ligand binding capacity of biologicals

DATE-ISSUED: March 21, 2000

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Grow; Ann E.	San Diego	CA	92120	N/A

US-CL-CURRENT: 436/172

Full | Title | Citation | Front | Review | Classification | Date | Reference | KWIC | Draw Desc | Image

☐ 13. Document ID: US 5985793 A

L1: Entry 13 of 27 File: USPT Nov 16, 1999

US-RAT-NO: 5985793
DOCUMENT-IDENTIFIER: US 5985793 A

TITLE: Sequential application method for treating plants with exogenous chemicals

DATE-ISSUED: November 16, 1999

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Sandbrink; Joseph J.	Des Peres	MO	N/A	N/A
Warner; James M.	University City	MO	N/A	N/A
Wright; Daniel R.	St. Louis	MO	N/A	N/A
Feng; Paul C. C.	Ellisville	MO	N/A	N/A

US-CL-CURRENT: 504/363; 424/405, 504/206, 504/208, 504/212, 504/250, 504/253, 504/258, 504/274, 504/291, 504/323, 504/324, 504/339, 504/342, 504/347, 504/352

Full | Title | Citation | Front | Review | Classification | Date | Reference | KWIC | Draw Desc | Image

☐ 14. Document ID: US 5958463 A

L1: Entry 14 of 27 File: USPT Sep 28, 1999

US-PAT-NO: 5958463
DOCUMENT-IDENTIFIER: US 5958463 A

TITLE: Agricultural pesticide formulations

DATE-ISSUED: September 28, 1999

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Milne; Christopher G.	Greenback	TN	N/A	N/A
Shelby, Jr.; Paulus P.	Knoxville	TN	N/A	N/A

US-CL-CURRENT: 424/660; 424/195.17, 424/405, 424/450, 424/600, 424/613, 424/615, 424/617, 424/657, 424/658, 424/659, 424/750, 424/757, 424/764, 504/116.1, 504/122, 504/187, 504/193, 504/362, 514/64, 514/77, 514/78, 514/937, 514/964, 514/972, 514/975

Full | Title | Citation | Front | Review | Classification | Date | Reference | KWIC | Draw Desc | Image

☐ 15. Document ID: US 5866430 A

L1: Entry 15 of 27 File: USPT Feb 2, 1999

US-PAT-NO: 5866430
DOCUMENT-IDENTIFIER: US 5866430 A

TITLE: Raman optrode processes and devices for detection of chemicals and microorganisms

DATE-ISSUED: February 2, 1999

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Grow; Ann E.	San Diego	CA	92120	N/A

US-CL-CURRENT: 436/172; 436/20

Full | Title | Citation | Front | Review | Classification | Date | Reference | KWIC | Draw Desc | Image

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☐ 16. Document ID: US 5714166 A

L1: Entry 16 of 27 File: USPT Feb 3, 1998

US-PAT-NO: 5714166
DOCUMENT-IDENTIFIER: US 5714166 A

TITLE: Bioactive and/or targeted dendrimer conjugates

DATE-ISSUED: February 3, 1998

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Tomalia; Donald A.	Midland	MI	N/A	N/A
Baker; James R.	Ann Arbor	MI	N/A	N/A
Cheng; Roberta C.	Midland	MI	N/A	N/A
Bielinska; Anna U.	Ypsilanti	MI	N/A	N/A
Fazio; Michael J.	Midland	MI	N/A	N/A
Hedstrand; David M.	Midland	MI	N/A	N/A
Johnson; Jennifer A.	Livonia	MI	N/A	N/A
Kaplan, deceased; Donald A.	late of Marina del Rey	CA	N/A	N/A
Klakamp; Scott L.	Russell	PA	N/A	N/A
Kruper, Jr.; William J.	Sanford	MI	N/A	N/A
Kukowska-Latallo; Jolanta	Ann Arbor	MI	N/A	N/A
Maxon; Bartley D.	St. Louis	MI	N/A	N/A
Piehler; Lars T.	Midland	MI	N/A	N/A
Tomlinson; Ian A.	Midland	MI	N/A	N/A
Wilson; Larry R.	Beaverton	MI	N/A	N/A
Yin; Rui	Mt. Pleasant	MI	N/A	N/A
Brothers, II; Herbert M.	Midland	MI	N/A	N/A

US-CL-CURRENT: 424/486; 424/1.29, 424/1.33, 424/1.37, 424/1.41, 424/1.49, 424/178.1, 424/193.1, 424/204.1, 424/234.1, 424/405, 424/417, 424/78.08, 424/9.3, 424/9.32, 424/9.322, 424/9.36, 424/9.4, 424/9.42, 424/9.6, 424/93.1 , 424/DIG.16, 514/772, 523/105, 525/417

Full | Title | Citation | Front | Review | Classification | Date | Reference | KWIC | Draw Desc | Image

☐ 17. Document ID: US 5527524 A

L1: Entry 17 of 27 File: USPT Jun 18, 1996

US-PAT-NO: 5527524
DOCUMENT-IDENTIFIER: US 5527524 A

TITLE: Dense star polymer conjugates

DATE-ISSUED: June 18, 1996

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Tomalia; Donald A.	Midland	MI	N/A	N/A
Wilson; Larry R.	Beaverton	MI	N/A	N/A
Hedstrand; David M.	Midland	MI	N/A	N/A
Tomlinson; Ian A.	Midland	MI	N/A	N/A
Fazio; Michael J.	Midland	MI	N/A	N/A
Kruper, Jr.; William J.	Sanford	MI	N/A	N/A
Kaplan; Donald A.	Cincinnati	OH	N/A	N/A
Cheng; Roberta C.	Midland	MI	N/A	N/A
Edwards; David S.	Burlington	MA	N/A	N/A
Jung; Chu W.	Arlington	MA	N/A	N/A

US-CL-CURRENT: 424/1.33; 424/130.1, 424/184.1, 424/278.1, 424/401, 424/405, 424/409, 424/417, 424/452, 424/484, 424/486 , 424/487, 424/501, 424/78.17, 424/78.18, 424/78.19, 424/78.22, 424/78.23, 424/78.26, 424/78.27, 424/78.34, 424/78.37, 424/84, 424/9.3, 424/9.4, 424/9.42, 424/93.1, 424/94.1, 424/DIG.16, 514/772.1, 514/772.3, 514/772.4, 514/772.5, 514/772.6, 514/772.7, 523/105, 525/417

Full | Title | Citation | Front | Review | Classification | Date | Reference KWIC | Draw Desc | Image

☐ 18. Document ID: US 5472954 A

L1: Entry 18 of 27 File: USPT Dec 5, 1995

US-PAT-NO: 5472954
DOCUMENT-IDENTIFIER: US 5472954 A

TITLE: Cyclodextrin complexation

DATE-ISSUED: December 5, 1995

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Loftsson; Thorsteinn	Reykjavik	N/A	N/A	ISX

US-CL-CURRENT: 514/58; 514/772.2, 514/772.3, 514/772.6, 514/773, 514/777, 514/779, 514/781, 536/103

Full | Title | Citation | Front | Review | Classification | Date | Reference KWIC | Draw Desc | Image

☐ 19. Document ID: US 5338532 A

L1: Entry 19 of 27 File: USPT Aug 16, 1994

US-PAT-NO: 5338532
DOCUMENT-IDENTIFIER: US 5338532 A

TITLE: Starburst conjugates

DATE-ISSUED: August 16, 1994

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Tomalia; Donald A.	Midland	MI	N/A	N/A
Kaplan; Donald A.	Cincinnati	OH	N/A	N/A
Kruper, Jr.; William J.	Sanford	MI	N/A	N/A
Cheng; Roberta C.	Midland	MI	N/A	N/A
Tomlinson; Ian A.	Midland	MI	N/A	N/A
Fazio; Michael J.	Midland	MI	N/A	N/A
Hedstrand; David M.	Midland	MI	N/A	N/A
Wilson; Larry R.	Beaverton	MI	N/A	N/A

US-CL-CURRENT: 424/1.49; 424/1.53, 424/1.65, 424/1.69, 424/78.08, 424/78.1, 424/78.17, 424/78.37, 424/9.36, 424/9.364, 424/9.4, 424/9.6, 436/173, 436/806, 514/772.1, 514/772.3, 521/25, 521/28

Full | Title | Citation | Front | Review | Classification | Date | Reference | KWIC | Draw Desc | Image

☐ 20. Document ID: US 5225279 A

L1: Entry 20 of 27 File: USPT Jul 6, 1993

US-PAT-NO: 5225279
DOCUMENT-IDENTIFIER: US 5225279 A

TITLE: Solvent core encapsulant composition

DATE-ISSUED: July 6, 1993

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Redlich; George H.	Norristown	PA	N/A	N/A
Novak; Ronald W.	Chalfont	PA	N/A	N/A

US-CL-CURRENT: 428/402.22; 264/4.7, 424/408, 427/213.34, 428/402.24, 428/407, 504/359, 514/963, 523/122, 525/301, 525/902, 525/911

Full | Title | Citation | Front | Review | Classification | Date | Reference | KWIC | Draw Desc | Image

☐ 21. Document ID: US 5068318 A

L1: Entry 21 of 27 File: USPT Nov 26, 1991

US-PAT-NO: 5068318
DOCUMENT-IDENTIFIER: US 5068318 A

TITLE: Diamenodinitroazo dyes

DATE-ISSUED: November 26, 1991

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Decher; Gero	Walluf	N/A	N/A	DEX
Tieka; Bernd	Marly	N/A	N/A	CHX

US-CL-CURRENT: 534/573; 252/586, 534/856, 534/859, 534/DIG.2, 564/441

Full | Title | Citation | Front | Review | Classification | Date | Reference | KWIC | Draw Desc | Image

☐ 22. Document ID: US 4985064 A

L1: Entry 22 of 27 File: USPT Jan 15, 1991

US-PAT-NO: 4985064
DOCUMENT-IDENTIFIER: US 4985064 A

TITLE: Microsuspension process for preparing solvent core sequential polymer dispersion

DATE-ISSUED: January 15, 1991

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Redlich; George H.	Norristown	PA	N/A	N/A
Novak; Ronald W.	Chalfont	PA	N/A	N/A

US-CL-CURRENT: 504/352; 264/4.7, 424/408, 427/213.31, 428/402.22, 428/402.24, 428/407, 504/359, 514/963, 523/122, 525/301, 525/902, 525/911

Full | Title | Citation | Front | Review | Classification | Date | Reference | KWIC | Draw Desc | Image

☐ 23. Document ID: US 4941997 A

L1: Entry 23 of 27 File: USPT Jul 17, 1990

US-PAT-NO: 4941997
DOCUMENT-IDENTIFIER: US 4941997 A

TITLE: Amphiphilic azo dyes and molecular aggregates thereof

DATE-ISSUED: July 17, 1990

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Decher; Gero	Walluf	N/A	N/A	DEX
Tieke; Bernd	Marly	N/A	N/A	CHX

US-CL-CURRENT: 252/586; 252/408.1, 252/582, 252/70, 252/960, 359/288, 534/573, 534/856, 534/DIG.2, 564/441

Full | Title | Citation | Front | Review | Classification | Date | Reference | KWIC | Draw Desc | Image

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☐ 24. Document ID: US 4677003 A

L1: Entry 24 of 27 File: USPT Jun 30, 1987

US-PAT-NO: 4677003
DOCUMENT-IDENTIFIER: US 4677003 A

TITLE: Microsuspension process for preparing solvent core sequential polymer dispersion

DATE-ISSUED: June 30, 1987

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Redlich; George H.	Norristown	PA	N/A	N/A
Novak; Ronald W.	Chalfont	PA	N/A	N/A

US-CL-CURRENT: 427/373; 264/4.7, 424/450, 428/402.22, 428/402.24, 428/407, 504/359, 514/365, 514/962, 514/963, 525/301, 525/902, 525/911

Full | Title | Citation | Front | Review | Classification | Date | Reference | KWIC | Draw Desc | Image

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☐ 25. Document ID: US 4506831 A

L1: Entry 25 of 27 File: USPT Mar 26, 1985

US-PAT-NO: 4506831
DOCUMENT-IDENTIFIER: US 4506831 A

TITLE: Process for the spray application of plant protective spray mixtures and packing units for concentrates

DATE-ISSUED: March 26, 1985

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Ghyczy; Miklos	Cologne	N/A	N/A	DEX
Imberge; Paul-Robert	Pulheim	N/A	N/A	DEX
Wendel; Armin	Cologne	N/A	N/A	DEX

US-CL-CURRENT: 239/10; 206/524.1, 71/64.08

Full | Title | Citation | Front | Review | Classification | Date | Reference | KWIC | Draw Desc | Image

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☐ 26. Document ID: US 4005194 A

L1: Entry 26 of 27 File: USPT Jan 25, 1977

US-PAT-NO: 4005194
DOCUMENT-IDENTIFIER: US 4005194 A

TITLE: Treatment of prostatic hyperplasia

DATE-ISSUED: January 25, 1977

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Johnson; Edwin Samuel	Antioch	IL	N/A	N/A

US-CL-CURRENT: 514/15; 514/800, 930/20, 930/21

Full | Title | Citation | Front | Review | Classification | Date | Reference | KWIC | Draw Desc | Image

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☐ 27. Document ID: BR 9906682 A, WO 200010532 A1, PT 102197 A, EP 1030653 A1

L1: Entry 27 of 27 File: DWPI Oct 17, 2000

DERWENT-ACC-NO: 2000-224525
DERWENT-WEEK: 200056

TITLE: Liposomal formulation used for treating e.g. leishmaniasis contains dinitoaniline compound

INVENTOR: CARVALHEIRO, M C; DA CRUZ, M E M ; JORGE, J C S ; MEIRINHOS DA CRUZ, M E ; COLLA CARVALHEIRO, M ; SANTANA JORGE, J C

PRIORITY-DATA: 1998PT-0102197 (August 21, 1998)

PATENT-FAMILY:

PUB-NO	PUB-DATE	LANGUAGE	PAGES	MAIN-IPC
BR 9906682 A	October 17, 2000	N/A	000	A61K009/127
WO 200010532 A1	March 2, 2000	E	049	A61K009/127
PT 102197 A	February 29, 2000	N/A	000	A61K009/127
EP 1030653 A1	August 30, 2000	E	000	A61K009/127

INT-CL (IPC): A61K 9/127; A61K 31/136; A61P 33/02; C07C 211/46

Full | Title | Citation | Front | Review | Classification | Date | Reference
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Terms	Documents
(dinitroaniline or trifluralin) and (liposome$ or vesicle$)	27

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L1: Entry 14 of 27 File: USPT Sep 28, 1999

DOCUMENT-IDENTIFIER: US 5958463 A
TITLE: Agricultural pesticide formulations

ABPL:
The present invention relates generally to the method for the production of liposomal microencapsulated boron-containing products to be used for agricultural formulations. More specifically, a new method of production of liposomal microencapsulated is disclosed for active agents such as pesticides. A lecithin is mixed with an organic solvent in a certain proportion so as to provide solutions with varied levels of solubilized lecithin. The particular solvent being used will depend on the amount of active agent (AA) desired in the final solution. The formulation of the lecithin/organic solvent mixture is then allowed to settle. After settling, the top layer is separated and saved, while the bottom layer is discarded. An AA is then added to form a concentrate that is added to water for vesicle formation. Boron-containing materials formulated according to the invention may now be applied to agricultural field crops and fruits.

BSPR:
The steps followed up to this point result in a basic "stock" solution that is mixed with an active agent (AA) of choice in the pharmaceutical industry. The next step is the addition of a preselected AA to the stock solution. The final step is to then add the preselected AA solution to water, thereby effecting formation of the microcapsules or vesicles.

BSPR:
The mere extraction of lecithin from animal sources such as egg yolks does not relate to the agricultural industry. Japanese Patent No. C87-154187 discloses the extraction of lecithin from egg yolks. It states that the uses and advantages are for food, drugs and toiletries. Japanese Patent Nos. C88-116693 and C89-086119 disclose methods of further extraction and purification of phosphatidylcholine (PC) from egg lecithin. These patents disclose the use of egg lecithin as an emulsifier for food, drugs, and toiletries, but do not suggest making liposomes or liposomal carrier systems. These Japanese patent references specify a method of extraction and purification of PC from egg lecithin.

BSPR:
As determined in the pharmaceutical industry, animal or egg lecithin contains a higher percentage of saturated fatty acid side chains, which impart a more rigid gelatinous quality to resulting liposomes when used for liposomal encapsulation of drugs. In turn, there is a slower, more extended release rate of the entrapped drugs. This characteristic is advantageous for drug delivery systems but is not desirable in agricultural applications of pesticides where there may be a risk of causing chemical residue problems.

BSPR:
Canadian Patent No. 834,472 discloses the process of extracting PC from crude vegetable oils using monoglycerides to aid the process. This reference discloses varying the levels of the monoglycerides and different ways of using the monoglycerides in the process. The reference does not mention, suggest, teach, or disclose liposome formation or, more specifically, liposomal encapsulation of active agents for agricultural uses. Its use is strictly for

- food additives, bakery uses, cosmetics, and a one word mention of a medical use.

BSPR:
It is known that PC is the material in plant lecithin that actually does the encapsulating in the liposomal microencapsulation process. The molecule of PC has a phosphate head with a choline moiety and some fatty acid chains that form a tail portion. The fatty acid chains are nonpolar and therefore repel water. The phosphate head of the PC molecule attracts water. When placed in water, the molecules coalesce so that the molecule tails are directed one way and the heads another to produce the vesicle formation of the liposomal encapsulation technique.

BSPR:
A further object of the present invention is to provide a method of encapsulation that produces an encapsulated active agent, which binds the vesicles to the organic fraction of the soil thereby reducing leaching or runoff.

BSPR:
As disclosed and described herein, the novel agricultural pesticide formulations necessarily include a lecithin-saturated stock solution, an intermediated agricultural pesticide stock solution, and a liposomal encapsulated agricultural pesticide that includes a lipid vesicle having phospholipid materials derived from plant lecithin as its lipid source. Each of the methods for forming these novel agricultural pesticide formulations are part of a process of liposomal encapsulation of an agricultural pesticide.

BSPR:
The lecithin-saturation level will be dependent upon the amount of active agent (AA) desired in the final solution. That is, the organic solvent selected for dissolving the lecithin at its saturation level will also be effective to dissolve and otherwise carry the particular amount of AA desired in the final solution to be mixed with water to produce the vesicle formation.

BSPR:
In another embodiment, the pesticidal material is selected from the group of alachlor, alphamethrin, atrazine, carbaryl, chlorothalonil, cymiazole, cupric hydroxide, cypermethrin, S-ethyl dipropylthiocarbamate, fluometuron, lambda cyhalothrin, permethrin, piperonyl butoxide, streptomycin, malathion, and trifluralin.

BSPR:
In the present process, a plant lecithin is mixed with an organic solvent in a certain proportion so as to provide a solution at a desired lecithin-saturation level depending on the formulation. The amounts of a particular form of plant lecithin required to obtain a desired level of solubility in certain organic solvents are known to the skilled artisan. The lecithin/organic solvent mixture is then allowed to settle. After settling, the top layer is separated and saved, while the bottom layer is discarded. An AA is then added to this stock solution and the resulting concentrate is added to water for the particular agricultural application. The concentrate must be added to water for vesicle formation.

BSPR:
Although any active agent can be used, the preferred embodiment uses alachlor, alphamethrin, atrazine, benzocaine, carbaryl, chlorothalonil, cymiazole, cupric hydroxide, cypermethrin, EPTC, fluometuron, lambda cyhalothrin, permethrin, piperonyl butoxide, malathion, streptomycin, or trifluralin. This list is not intended to be comprehensive but merely illustrative.

BSPR:
In accordance with the invention, first the organic solvent is selected to carry the necessary amounts of active agent to produce the required

agricultural use rate in the final formulation of the encapsulated active agent. At the same time, the organic solvent selected for the active agent must dissolve an effective amount of plant lecithin in solution to provide an intermediate active agent solution having a sufficient PC content for producing the vesicle formation of the liposomal encapsulation when the intermediate active agent solution is mixed with water.

BSPR:
Although any active agent can be used, in the preferred embodiment, the following active agents are used: pesticidal boron, alachlor, alphamethrin, atrazine, benzocaine, carbaryl, chlorothalonil, cymiazole, cupric hydroxide, cypermethrin, dyes, EPTC, fluometuron, lambda cyhalothrin, malathion, permethrin, piperonyl butoxide, stains, streptomycin, and trifluralin.

BSTL:
TABLE I

AGRICULTURAL USE RATE	COMMON NAME	(lb/A)	SOLVENT
Herbicides:	Alachlor	1.5-8	Soluble in ether, acetone, benzene, chloroform, eth- anol, ethyl acetate, slightly soluble in heptane.
	Atrazine	2-4	Dimethyl sulfoxide (18.3%), chloroform (5.2%), ethyl acetate (2.8%), methanol (1.8%), diethylether (1.2%), n-pentane (.035%), water (.0033%).
	EPTC	2-6	Miscible in acetone, ethyl alcohol, kerosene, methyl isobutyl ketone, and xylene. Only .037% in water.
	Fluometuron	.8-4	Soluble in dimethylformamide, acetone, ethanol, and isopropanol. Only .009% in water.
	Trifluralin	.5-1	>50% soluble w/v in acetone, acetonitrile, chloro- form, dimethylformamide, dioxane, hexane, methyl ethyl ketone, and xylene. 44% soluble w/v in methyl cellosolve, and .00003% in water. Formulated with xylene, ethyl benzene, and naphthalene.
Insecticides:	Carbaryl	.5-2	Soluble in most polar organic solvents such as ace- tone. Only .004% in water.
	Cypermethrin	.025-.1	Soluble in methanol, acetone, xylene, and methylene dichloride. Insoluble in water.
	Lambda cyhalothrin	.025-.04	Soluble in most organic solvents. Low solubility in water. Formulated with xylene based petroleum solvent.
	Malathion	.9-2.5	Soluble in most organic solvents. Only .0145% soluble in water. Formulated with xylene.
	Permethrin	.05-.4	Very soluble in most organic solvents except ethyl- ene glycol. <.0001% soluble in water.
	Piperonyl butoxide	.1-.8	Soluble in most common organic solvents and pe- troleum distillates. Very slightly soluble in water.
Fungicides:	Chlorothalonil	.56-4.13	Slightly soluble in organic solvents and insoluble in water.
Miscellaneous:	Dyes & stains	Available in water soluble and solvent soluble forms.	

DEPR:
Liposome formation is caused when the concentrate comes into contact with the water in spray tank. With the chlorothalonil microencapsulated according to the invention, the longevity of the pesticide is extended so that it does not have to be applied as often as other chlorothalonil products. Other new and unexpected results such as a significant increase in crop harvest have been obtained using the procedure of this invention in the field as discussed below.

DEPR:
Here again, liposome formation is caused when the concentrate comes into contact with the water in the spray tank. By microencapsulating, the effective longevity of permethrin with its other unique features produce new and unexpected results in the field as discussed below.

ORPL:
Edited by Gregoriadis, G. and Allison, A.C., Liposomes in Biological Systems, 1980, pp. 101-151, John Wiley & sons, NY.

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L1: Entry 13 of 27 File: USPT Nov 16, 1999

DOCUMENT-IDENTIFIER: US 5985793 A
TITLE: Sequential application method for treating plants with exogenous chemicals

DEPR:
Herbicides which can be applied by the method of the present invention include but are not limited to any listed in standard reference works such as the "Herbicide Handbook," Weed Science Society of America, 1994, 7th ed. Illustratively these herbicides include acetanilides such as acetochlor, alachlor and metolachlor, aminotriazole, asulam, bentazon, bialaphos, bipyridyls such as paraquat, bromacil, cyclohexenones such as clethodim and sethoxydim, dicamba, diflufenican, dinitroanilines such as pendimethalin, diphenylethers such as acifluorfen, fomesafen and oxyfluorfen, fosamine, flupoxam, glufosinate, glyphosate, hydroxybenzonitriles such as bromoxynil, imidazolinones such as imazaquin and imazethapyr, isoxaben, norflurazon, phenoxies such as 2,4-D, phenoxypropionates such as diclofop, fluazifop and quizalofop, picloram, propanil, substituted ureas such as fluometuron and isoproturon, sulfonylureas such as chlorimuron, chlorsulfuron, halosulfuron, metsulfuron, primisulfuron, sulfometuron and sulfosulfuron, thiocarbamates such as triallate, triazines such as atrazine and metribuzin, and triclopyr. Not all of these herbicides exhibit antagonism with all accession agents, but where antagonism is exhibited, the method of the present invention reduces or eliminates that antagonism. Herbicidally active derivatives of any known herbicide are also within the scope of the present invention if applied by the method herein described. A herbicidally active derivative is any compound which is a minor structural modification, most commonly but not restrictively a salt or ester, of a known herbicide. These compounds retain the essential activity of the parent herbicide, but do not necessarily have a potency equal to that of the parent herbicide. These compounds convert to the parent herbicide before or after they enter the treated plant. Mixtures or coformulations of a herbicide with other ingredients, or of more than one herbicide, can likewise be employed. Preferred herbicides for use according to the method of the present invention are those which are normally foliar-applied rather than soil-applied. Especially preferred foliar-applied herbicides are those which show a degree of systemicity in the plant, in other words are to some extent translocated from the point of entry to a point of action in the plant at some distance from the point of entry.

DEPR:
The method of this invention can also be practiced by a single application to plants of particular coformulations of an exogenous chemical and an accession agent that are themselves designed to provide the advantages of sequential application. Such coformulations are an embodiment of the invention and provide a time delay between the initial contacting of the plant foliage by the exogenous chemical (e.g., herbicide) and the initial contacting of the plant foliage by the accession agent (e.g., superwetting surfactant in aqueous solution or dispersion). This time delay is accomplished by having the exogenous chemical and the surfactant component of the accession agent partitioned to a greater or lesser extent in selected physical environments within the bulk state of the coformulation. In this respect such coformulations differ from the simple coformulations and tank mixes previously known. The presence of surfactant in the form of simple micelles or in solution in a liquid coformulation, or adsorbed or absorbed on a solid carrier

(which may or may not be the exogenous chemical) in a dry coformulation, does not of itself accomplish the required partitioning. Coformulations having different physical environments permitting partitioning of exogenous chemical and accession agent as required by this embodiment of the invention include, without limitation, colloidal systems such as emulsions (water/oil, oil/water, or multiple, e.g., water/oil/water emulsions having an inner aqueous phase and an outer aqueous phase), foams or microemulsions, or systems containing microparticulates, microcapsules, liposomes, vesicles, or the like. Especially preferred methods involving partitioned coformulations are those wherein the accession agent comprises a surfactant at least 50% of which is encapsulated within microcapsules, liposomes, vesicles or the inner aqueous phase of a multiple emulsion.

CLPR:
7. The method of claim 6, wherein the herbicide is selected from the group consisting of acetanilides, bipyridyls, cyclohexenones, dinitroanilines, diphenylethers, hydroxybenzonitriles, imidazolinones, phenoxies, phenoxypropionates, substituted ureas, sulfonylureas, thiocarbamates, and triazines.